

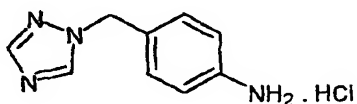
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C L A I M S

1. Process for preparing a pharmaceutically active compound, rizatriptan, or a pharmaceutically acceptable salt thereof, characterised in that it comprises the following steps:

a) Preparation of the diazonium salt of the aniline hydrochloride of formula (II)

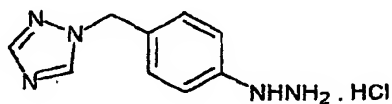
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(II)

followed by reduction and acidification to give the hydrazine of formula (III):

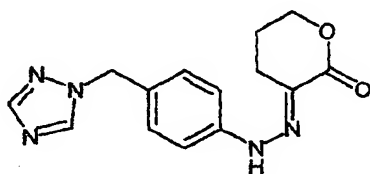
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(III)

b) In situ reaction of the hydrazine hydrochloride of formula (III) with α -keto- δ -valerolactone, to give the hydrazone of formula (IV):

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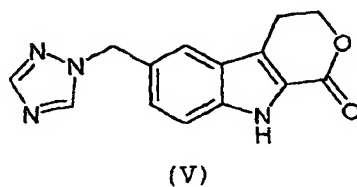
(IV)

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c) Fischer indole reaction of the hydrazone of

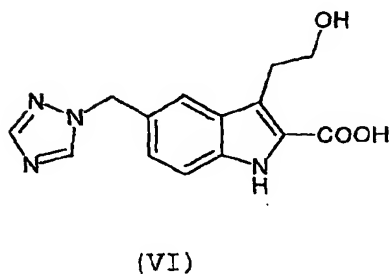
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formula (IV), to give the pyranoindolone of formula (V):



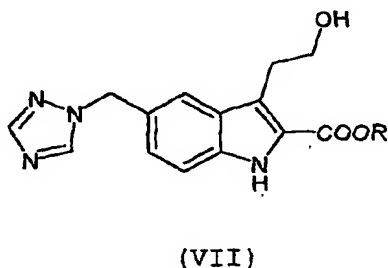
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followed optionally by hydrolysis to give the product of formula (VI):



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d) Transesterification of the compound of formula (V) or esterification of its hydrolysis product of formula (VI), to give a compound of formula (VII):



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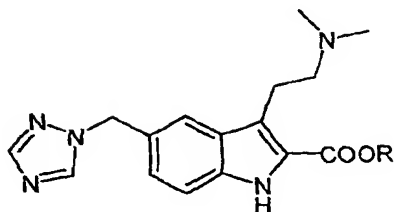
where R represents a straight or branched C1-C4 alkyl chain;

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e) conversion of the hydroxyl group of the compound of formula (VII) into dimethylamino, to give the

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indolecarboxylate of formula (VIII):



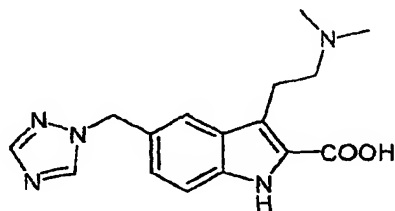
(VIII)

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where R has the same meaning as defined above;

f) Saponification of the 2-carboalkoxy group of the compound of formula (VIII), to give the indolecarboxylic acid of formula (IX):

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(IX)

g) Decarboxylation of the indolecarboxylic acid of formula (IX), to give rizatriptan, and

15 eventually, the preparation of a pharmaceutically acceptable salt thereof.

2. Process according to Claim 1, characterised in that in said step c) the indolisation is carried out in a solution of dry hydrogen chloride in a straight or branch
20 C1-C4 alcohol chain.

3. Process according to Claim 1, characterised in that steps a), b) and c) are carried out as a one pot reaction.

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4. Process according to Claims 1 and 3, characterised in that said step c) is carried out in aqueous acid medium and is followed by a hydrolysis reaction to give the product of formula (VI).

5. Process according to Claim 1, characterised in that said step e) is carried out in two steps:

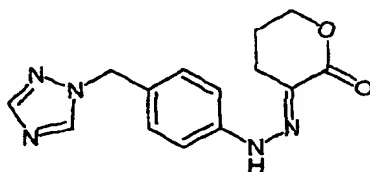
e-i) substitution of the hydroxyl group of the compound of formula (VII) by a leaving group X; and

e-ii) subsequent substitution reaction of the leaving group X with dimethylamine to give the compound of formula (VIII).

6. Process according to Claim 5, characterised in that said leaving group X is selected from a halogen atom, a mesylate group or a tosylate group.

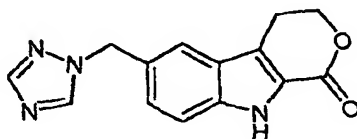
7. Process according to Claim 1, characterised in that said step d) is carried out in an alcoholic solution and in the presence of an acid.

8. Synthesis intermediate of formula (IV):



(IV)

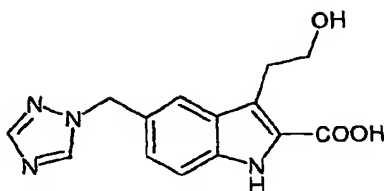
9. Synthesis intermediate of formula (V):



(V)

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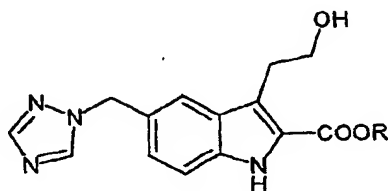
10. Synthesis intermediate of formula (VI):



(VI)

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11. Synthesis intermediate of formula (VII):



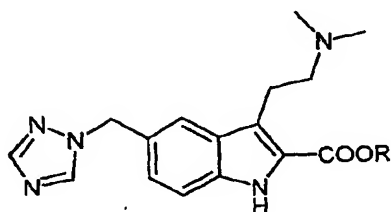
(VII)

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where R represents a straight or branched C1-C4 alkyl chain.

12. Synthesis intermediate of formula (VIII):

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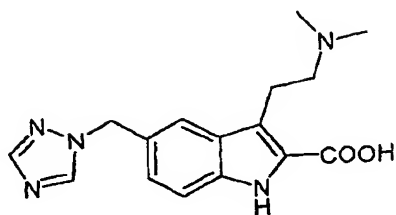
(VIII)

where R represents a straight or branched C1-C4 alkyl chain.

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13. Synthesis intermediate of formula (IX):



(IX)

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